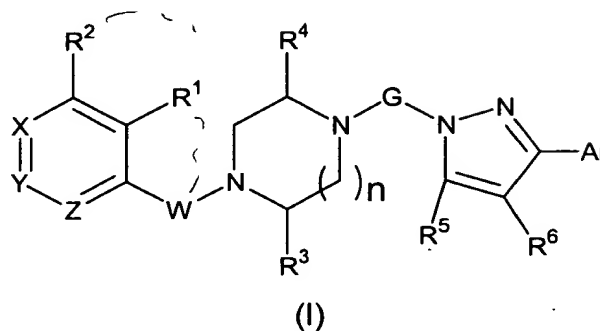


## CLAIMS

1. A compound of formula (I) below:



wherein:

10 R<sup>1</sup> is hydrogen, azido, halogen, C<sub>1-5</sub> alkoxy, hydroxy, C<sub>1-5</sub> alkyl, C<sub>2-5</sub> alkenyl, cyano, nitro, R<sup>7</sup>R<sup>8</sup>N, C<sub>2-8</sub> acyl, R<sup>9</sup>OC=O, R<sup>10</sup>R<sup>11</sup>NC=O, or R<sup>10</sup>R<sup>11</sup>NSO<sub>2</sub>; or R<sup>1</sup> is taken together with W as described below;

R<sup>2</sup> is hydrogen, halogen, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkyl, C<sub>2-5</sub> alkenyl, C<sub>1-5</sub> haloalkyl, cyano, or R<sup>48</sup>R<sup>49</sup>N;  
alternatively, R<sup>1</sup> and R<sup>2</sup> can be taken together to form an optionally substituted 5- to 7- membered carbocyclic or heterocyclic ring, which ring may be unsaturated or aromatic;

15

each of R<sup>3</sup> and R<sup>4</sup> is independently hydrogen or C<sub>1-5</sub> alkyl;

each of R<sup>5</sup> and R<sup>6</sup> is independently hydrogen, C<sub>1-5</sub> alkyl, C<sub>2-5</sub> alkenyl, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkylthio, halogen, or a 4-7 membered carbocyclyl or heterocyclyl;

20

alternatively, R<sup>5</sup> and R<sup>6</sup> can be taken together to form an optionally substituted 5- to 7- membered carbocyclic or heterocyclic ring, which ring may be unsaturated or aromatic, and may be optionally substituted with between one and three substituents independently selected from halo, cyano, amino, nitro, R<sup>40</sup>, R<sup>40</sup>O-, R<sup>40</sup>S-, R<sup>40</sup>O(C<sub>1-5</sub> alkylene)-, R<sup>40</sup>O(C=O)-, R<sup>40</sup>(C=O)-, R<sup>40</sup>(C=S)-, R<sup>40</sup>(C=O)O-, R<sup>40</sup>O(C=O)(C=O)-, R<sup>40</sup>SO<sub>2</sub>, NHR<sup>62</sup>(C=NH)-, NHR<sup>62</sup>SO<sub>2</sub>-, and NHR<sup>62</sup>(C=O) -;

25

- $R^{40}$  is H,  $C_{1-5}$  alkyl,  $C_{2-5}$  alkenyl, phenyl, benzyl, phenethyl,  $C_{1-5}$  heterocyclyl, ( $C_{1-5}$  heterocyclyl) $C_{1-5}$  alkylene, amino, or mono- or di( $C_{1-5}$  alkyl)amino, or  $R^{58}OR^{59}$ , wherein  $R^{58}$  is H,  $C_{1-5}$  alkyl,  $C_{2-5}$  alkenyl, phenyl, benzyl, phenethyl,  $C_{1-5}$  heterocyclyl, or ( $C_{1-5}$  heterocyclyl) $C_{1-6}$  alkylene and  $R^{59}$  is  $C_{1-5}$  alkylene, phenylene, or divalent  $C_{1-5}$  heterocyclyl; and  
 $R^{62}$  can be H in addition to the values for  $R^{40}$ ;  
 $R^7$  is hydrogen,  $C_{1-5}$  alkyl,  $C_{3-5}$  alkenyl, phenyl, naphthyl,  $C_{1-5}$  heterocyclyl,  $C_{2-8}$  acyl, aroyl,  $R^{27}OC=O$ ,  $R^{28}R^{29}NC=O$ ,  $R^{27}SO$ ,  $R^{27}SO_2$ , or  $R^{28}R^{29}NSO_2$ ;  
 $R^8$  is hydrogen,  $C_{1-5}$  alkyl,  $C_{3-5}$  alkenyl, phenyl, or  $C_{1-5}$  heterocyclyl;  
alternatively,  $R^7$  and  $R^8$  can be taken together to form an optionally substituted 4- to 7- membered heterocyclic ring, which ring may be saturated, unsaturated or aromatic;  
 $R^9$  is  $C_{1-5}$  alkyl, phenyl, naphthyl, or  $C_{1-5}$  heterocyclyl;  
 $R^{21}$  is hydrogen,  $C_{1-5}$  alkyl,  $C_{3-5}$  alkenyl, phenyl, naphthyl,  $C_{1-5}$  heterocyclyl,  $C_{2-8}$  acyl, aroyl,  $R^{30}OC=O$ ,  $R^{31}R^{32}NC=O$ ,  $R^{30}SO$ ,  $R^{30}SO_2$ , or  $R^{31}R^{32}NSO_2$ ;  
 $R^{22}$  is hydrogen,  $C_{1-5}$  alkyl,  $C_{3-5}$  alkenyl, phenyl, or  $C_{1-5}$  heterocyclyl;  
alternatively,  $R^{21}$  and  $R^{22}$  can be taken together to form an optionally substituted 4- to 7-membered heterocyclic ring, which ring may be saturated, unsaturated or aromatic;  
each of  $R^{23}$ ,  $R^{26}$ ,  $R^{27}$ ,  $R^{30}$ ,  $R^{33}$ ,  $R^{44}$ ,  $R^{45}$ , and  $R^{50}$  is  $C_{1-5}$  alkyl, phenyl, naphthyl, or  $C_{1-5}$  heterocyclyl;  
 $R^{24}$  is hydrogen,  $C_{1-5}$  alkyl,  $C_{3-5}$  alkenyl, phenyl, naphthyl,  $C_{1-5}$  heterocyclyl,  $C_{2-8}$  acyl, aroyl,  $R^{33}OC=O$ ,  $R^{34}R^{35}NC=O$ ,  $R^{33}SO$ ,  $R^{33}SO_2$ , or  $R^{34}R^{35}NSO_2$ ;  
 $R^{25}$  is hydrogen,  $C_{1-5}$  alkyl,  $C_{3-5}$  alkenyl, phenyl, or  $C_{1-5}$  heterocyclyl;  
alternatively,  $R^{24}$  and  $R^{25}$  can be taken together to form an optionally substituted 4- to 7- membered heterocyclic ring, which ring may be saturated, unsaturated or aromatic;  
each of  $R^{10}$  and  $R^{11}$  is independently hydrogen,  $C_{1-5}$  alkyl,  $C_{2-5}$  alkenyl, phenyl, or  $C_{1-5}$  heterocyclyl;  
alternatively,  $R^{10}$  and  $R^{11}$  or can be taken together to form an optionally substituted 4- to 7- membered heterocyclic ring, which ring may be saturated, unsaturated or aromatic;  
each of  $R^{28}$ ,  $R^{29}$ ,  $R^{31}$ ,  $R^{32}$ ,  $R^{34}$ ,  $R^{35}$ ,  $R^{46}$ ,  $R^{47}$ ,  $R^{51}$  and  $R^{52}$  is independently

hydrogen, C<sub>1-5</sub> alkyl, phenyl, or C<sub>1-5</sub> heterocyclyl;

alternatively, R<sup>28</sup> and R<sup>29</sup>, R<sup>31</sup> and R<sup>32</sup>, R<sup>34</sup> and R<sup>35</sup>, R<sup>46</sup> and R<sup>47</sup>, or R<sup>51</sup> and R<sup>52</sup>, independently, can be taken together to form an optionally substituted 4- to 7- membered heterocyclic ring, which ring may be

5 saturated, unsaturated or aromatic;

n is 1 or 2;

G represents C<sub>3-6</sub> alkenediyl or C<sub>3-6</sub> alkanediyl, optionally substituted with hydroxy, halogen, C<sub>1-5</sub> alkyl, C<sub>1-5</sub> alkoxy, oxo, hydroximino, CO<sub>2</sub>R<sup>60</sup>, R<sup>60</sup>R<sup>61</sup>NCO<sub>2</sub>, (L)-C<sub>1-4</sub> alkylene-, (L)-C<sub>1-5</sub> alkoxy, N<sub>3</sub>, or [(L)-C<sub>1-5</sub> alkylene]amino;

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each of R<sup>60</sup> and R<sup>61</sup> is independently hydrogen, C<sub>1-5</sub> alkyl, C<sub>3-5</sub> alkenyl, phenyl, benzyl, phenethyl, or C<sub>1-5</sub> heterocyclyl; alternatively R<sup>60</sup> and R<sup>61</sup>, can be taken together to form an optionally substituted 4- to 7- membered heterocyclic ring, which ring may be saturated, unsaturated or aromatic;

15 L is amino, mono- or di-C<sub>1-5</sub> alkylamino, pyrrolidinyl, morpholinyl, piperidinyl homopiperidinyl, or piperazinyl, where available ring nitrogens may be optionally substituted with C<sub>1-5</sub> alkyl, benzyl, C<sub>2-5</sub> acyl, C<sub>1-5</sub> alkylsulfonyl or C<sub>1-5</sub> alkyloxycarbonyl;

~~X~~ is nitrogen or R<sup>12</sup>C;

20 Y is nitrogen or R<sup>13</sup>C;

Z is nitrogen or R<sup>14</sup>C;

R<sup>12</sup> is hydrogen, halogen, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkyl, C<sub>2-5</sub> alkenyl, cyano, nitro, R<sup>21</sup>R<sup>22</sup>N, C<sub>2-8</sub> acyl, C<sub>1-5</sub> haloalkyl, C<sub>1-5</sub> heterocyclyl, (C<sub>1-5</sub> heterocyclyl)C<sub>1-5</sub> alkylene, R<sup>23</sup>OC=O, R<sup>23</sup>O(C=O)NH-, R<sup>23</sup>SO, R<sup>22</sup>NHCO-, R<sup>22</sup>NH(C=O)NH-, R<sup>23</sup>(C<sub>1-4</sub> alkylene)NHCO-, R<sup>23</sup>SO<sub>2</sub>, or R<sup>23</sup>SO<sub>2</sub>NH-;

25

R<sup>13</sup> is hydrogen, halogen, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkyl, C<sub>2-5</sub> alkenyl, cyano, nitro, R<sup>42</sup>R<sup>43</sup>N, C<sub>2-8</sub> acyl, C<sub>1-5</sub> haloalkyl, C<sub>1-5</sub> heterocyclyl, (C<sub>1-5</sub> heterocyclyl)C<sub>1-5</sub> alkylene, R<sup>44</sup>OC=O, R<sup>44</sup>O(C=O)NH-, R<sup>44</sup>SO, R<sup>43</sup>NHCO-, R<sup>43</sup>NH(C=O)NH-, R<sup>44</sup>(C<sub>1-4</sub> alkylene)NHCO-, R<sup>44</sup>SO<sub>2</sub>, or R<sup>44</sup>SO<sub>2</sub>NH-;

30

R<sup>14</sup> is hydrogen, halogen, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkyl, C<sub>2-5</sub> alkenyl, cyano, nitro, R<sup>24</sup>R<sup>25</sup>N, C<sub>2-8</sub> acyl, C<sub>1-5</sub> haloalkyl, C<sub>1-5</sub> heterocyclyl, (C<sub>1-5</sub> heterocyclyl)C<sub>1-5</sub> alkylene, R<sup>26</sup>OC=O, R<sup>26</sup>O(C=O)NH-, R<sup>26</sup>SO, R<sup>25</sup>NHCO-, R<sup>25</sup>NH(C=O)NH-, R<sup>26</sup>(C<sub>1-4</sub> alkylene)NHCO-, R<sup>26</sup>SO<sub>2</sub>, or R<sup>26</sup>SO<sub>2</sub>NH-;

alternatively,  $R^{12}$  and  $R^{13}$  or  $R^{12}$  and  $R^2$  or  $R^{13}$  and  $R^{14}$  can be taken together to form an optionally substituted 5- to 6- membered carbocyclic or heterocyclic ring, which ring may be unsaturated or aromatic;

Ar represents a monocyclic or bicyclic aryl or heteroaryl ring, optionally substituted with between 1 and 3 substituents selected from halogen,  $C_{1-5}$  alkoxy,  $C_{1-5}$  alkyl,  $C_{2-5}$  alkenyl, cyano, azido, nitro,  $R^{15}R^{16}N$ ,  $R^{17}SO_2$ ,  $R^{17}S$ ,  $R^{17}SO$ ,  $R^{17}OC=O$ ,  $R^{15}R^{16}NC=O$ ,  $C_{1-5}$  haloalkyl,  $C_{1-5}$  haloalkoxy,  $C_{1-5}$  haloalkylthio, and  $C_{1-5}$  alkylthio;

$R^{15}$  is hydrogen,  $C_{1-5}$  alkyl,  $C_{3-5}$  alkenyl, phenyl, benzyl,  $C_{1-5}$  heterocyclyl,  $C_{2-8}$  acyl, aroyl,  $R^{53}OC=O$ ,  $R^{54}R^{55}NC=O$ ,  $R^{53}S$ ,  $R^{53}SO$ ,  $R^{53}SO_2$ , or  $R^{54}R^{55}NSO_2$ ;

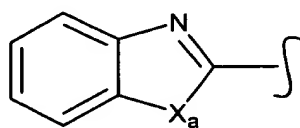
$R^{16}$  is hydrogen,  $C_{1-5}$  alkyl,  $C_{3-5}$  alkenyl, phenyl, benzyl, or  $C_{1-5}$  heterocyclyl; alternatively,  $R^{15}$  and  $R^{16}$  can be taken together to form an optionally substituted 4- to 7- membered heterocyclic ring, which ring may be saturated, unsaturated or aromatic;

each of  $R^{17}$  and  $R^{53}$  is  $C_{1-5}$  alkyl, phenyl, or  $C_{1-5}$  heterocyclyl;

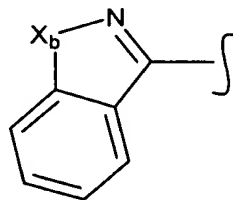
each of  $R^{54}$  and  $R^{55}$  is independently hydrogen,  $C_{1-5}$  alkyl,  $C_{2-5}$  alkenyl, phenyl, benzyl, or  $C_{1-5}$  heterocyclyl;

alternatively,  $R^{54}$  and  $R^{55}$  can be taken together to form an optionally substituted 4- to 7- membered heterocyclic ring, which ring may be saturated, unsaturated or aromatic;

W represents  $SO_2$ ,  $C=O$ ,  $CHR^{20}$ , or a covalent bond; or W and  $R^1$ , taken together with the 6-membered ring to which they are both attached, form one of the following two formulae:



(I)(a)



(I)(b)

wherein  $X_a$  is O, S, or N; and  $X_b$  is O, S or  $SO_2$ ;

$R^{20}$  is hydrogen,  $C_{1-5}$  alkyl, phenyl, benzyl, naphthyl, or  $C_{1-5}$  heterocyclyl;

- $R^{42}$  is hydrogen,  $C_{1-5}$  alkyl,  $C_{3-5}$  alkenyl, phenyl, naphthyl,  $C_{1-5}$  heterocyclyl,  $C_{2-8}$  acyl, aroyl,  $R^{45}OC=O$ ,  $R^{46}R^{47}NC=O$ ,  $R^{45}SO$ ,  $R^{45}SO_2$ , or  $R^{46}R^{47}NSO_2$ ;  
 $R^{43}$  is hydrogen,  $C_{1-5}$  alkyl,  $C_{3-5}$  alkenyl, phenyl, or  $C_{1-5}$  heterocyclyl;  
 alternatively,  $R^{42}$  and  $R^{43}$  can be taken together to form an optionally substituted 4- to 7- membered heterocyclic ring, which ring may be saturated, unsaturated or aromatic;  
 $R^{44}$  is  $C_{1-5}$  alkyl,  $C_{2-5}$  alkenyl, phenyl, naphthyl, or  $C_{1-5}$  heterocyclyl;  
 $R^{48}$  is hydrogen,  $C_{1-5}$  alkyl,  $C_{3-5}$  alkenyl, phenyl, naphthyl,  $C_{1-5}$  heterocyclyl,  $C_{2-8}$  acyl, aroyl,  $R^{50}OC=O$ ,  $R^{51}R^{52}NC=O$ ,  $R^{50}SO$ ,  $R^{50}SO_2$ , or  $R^{51}R^{52}NSO_2$ ;  
 $R^{49}$  is hydrogen,  $C_{1-5}$  alkyl,  $C_{3-5}$  alkenyl, phenyl, or  $C_{1-5}$  heterocyclyl;  
 alternatively,  $R^{48}$  and  $R^{49}$  can be taken together to form an optionally substituted 4- to 7- membered heterocyclic ring, which ring may be saturated, unsaturated or aromatic; and  
 wherein each of the above hydrocarbyl or heterocarbyl groups, unless otherwise indicated, and in addition to any specified substituents, is optionally and independently substituted with between 1 and 3 substituents selected from methyl, halomethyl, hydroxymethyl, halo, hydroxy, amino, nitro, cyano,  $C_{1-5}$  alkyl,  $C_{1-5}$  alkoxy,  $-COOH$ ,  $C_{2-6}$  acyl,  $[di(C_{1-4} \text{ alkyl})amino]C_{2-5}$  alkylene,  $[di(C_{1-4} \text{ alkyl})amino] C_{2-5}$  alkyl-NH-CO-, and  $C_{1-5}$  haloalkoxy;

or a pharmaceutically acceptable salt, ester, or amide thereof.

2. A compound of claim 1, wherein  $R^1$  is hydrogen, halogen,  $C_{1-5}$  alkoxy, hydroxy,  $C_{1-5}$  alkyl, cyano, nitro,  $R^7R^8N$ ,  $C_{2-8}$  acyl, or  $R^{10}R^{11}NSO_2$ .
3. A compound of claim 2, wherein  $R^1$  is halogen, cyano, nitro,  $R^7R^8N$ , or  $R^{10}R^{11}NSO_2$ .
4. A compound of claim 1, wherein  $R^2$  is hydrogen.

5. A compound of claim 1, wherein each of R<sup>3</sup> and R<sup>4</sup> is independently hydrogen or C<sub>1-3</sub> alkyl.

6. A compound of claim 5, wherein one of R<sup>3</sup> and R<sup>4</sup> is hydrogen.

7. A compound of claim 6, wherein each of R<sup>3</sup> and R<sup>4</sup> is hydrogen.

8. A compound of claim 1, wherein one of R<sup>5</sup> and R<sup>6</sup> is hydrogen and the other is a 5-7 membered carbocyclyl or heterocyclyl, optionally substituted.

9. A compound of claim 1, wherein R<sup>5</sup> and R<sup>6</sup> taken together form a six-membered heterocyclyl.

10. A compound of claim 8, wherein R<sup>5</sup> and R<sup>6</sup> taken together form pyridinyl, pyrimidinyl, or piperazinyl, optionally N-substituted with R<sup>40</sup>O(C=O)(C=O)-, R<sup>40</sup>SO<sub>2</sub>, R<sup>40</sup>NHCO<sub>2</sub>, R<sup>40</sup>(C=O)- or R<sup>40</sup>N(C=O)-.

11. A compound of claim 1, wherein each of R<sup>7</sup>, R<sup>8</sup>, R<sup>21</sup>, R<sup>22</sup>, R<sup>24</sup>, R<sup>25</sup> is independently hydrogen or C<sub>1-5</sub> alkyl; or, independently, each of R<sup>7</sup> and R<sup>8</sup>, R<sup>21</sup> and R<sup>22</sup>, and R<sup>24</sup> and R<sup>25</sup> can be taken together to form an optionally substituted 4- to 7- membered heterocyclic ring, which ring may be saturated, unsaturated or aromatic.

12. A compound of claim 11, wherein at least one of R<sup>7</sup> and R<sup>8</sup>, R<sup>21</sup> and R<sup>22</sup>, and R<sup>24</sup> and R<sup>25</sup>, taken together, is morpholinyl, piperidinyl, or pyrrolidinyl.

13. A compound of claim 1, wherein R<sup>9</sup>, R<sup>23</sup>, R<sup>26</sup>, and R<sup>27</sup> is each independently hydrogen or C<sub>1-5</sub> alkyl.

14. A compound of claim 1, wherein G is C<sub>3-4</sub> alkanediyl, optionally substituted with hydroxy, (L)-C<sub>1-5</sub> alkyloxy-, or [(L)-C<sub>1-5</sub> alkylene]amino-.

15. A compound of claim 14, wherein G is C<sub>3</sub> alkanediyl, optionally substituted with hydroxy, (L)-C<sub>1-5</sub> alkyloxy-, or [(L)-C<sub>1-5</sub> alkylene]amino-.

16. A compound of claim 1, wherein X is nitrogen.

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17. A compound of claim 1, wherein Y is CR<sup>13</sup>.

18. A compound of claim 1, wherein Z is CR<sup>14</sup>.

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19. A compound of claim 18, wherein X is CH.

20. A compound of claim 1, wherein R<sup>12</sup> is hydrogen, R<sup>22</sup>O(C=O)NH-, R<sup>22</sup>NH(C=O)NH-, R<sup>22</sup>SO<sub>2</sub>NH, R<sup>23</sup>SO, or R<sup>23</sup>SO<sub>2</sub>, and R<sup>13</sup> is hydrogen, R<sup>43</sup>O(C=O)NH-, R<sup>43</sup>NH(C=O)NH-, R<sup>43</sup>SO<sub>2</sub>NH, R<sup>44</sup>SO, or R<sup>44</sup>SO<sub>2</sub>.

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21. A compound of claim 1, wherein R<sup>14</sup> is hydrogen, halogen, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkyl, cyano, nitro, R<sup>25</sup>O(C=O)NH-, R<sup>25</sup>NH(C=O)NH-, R<sup>25</sup>SO<sub>2</sub>NH or R<sup>24</sup>R<sup>25</sup>N.

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22. A compound of claim 21, wherein R<sup>14</sup> is halogen, R<sup>25</sup>O(C=O)NH-, R<sup>25</sup>NH(C=O)NH-, R<sup>25</sup>SO<sub>2</sub>NH or R<sup>24</sup>R<sup>25</sup>N.

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23. A compound of claim 1, wherein Ar represents a monocyclic ring, optionally substituted with between 1 and 2 substituents selected independently from halogen, C<sub>1-5</sub> alkyl, cyano, nitro, R<sup>15</sup>R<sup>16</sup>N, CF<sub>3</sub> and OCF<sub>3</sub>.

30

24. A compound of claim 23, wherein Ar is a six membered ring substituted with between 1 and 2 substituents selected from halo, CF<sub>3</sub>, OCF<sub>3</sub>, said substituent or substituents being at the 4-position or at the 3- and 4-positions, respectively.

25. A compound of claim 1, wherein W is SO<sub>2</sub>, C=O, or CHR<sup>20</sup>.

26. A compound of claim 1, wherein W is a covalent bond.

27. A compound of claim 1, wherein W and R<sup>1</sup> taken together are formula (I)(a).

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28. A compound of claim 1, wherein W and R<sup>1</sup> taken together are formula (I)(b).

29. A compound of claim 1, wherein one of R<sup>3</sup> and R<sup>4</sup> is hydrogen; Ar represents a monocyclic ring, optionally substituted with between 1 and 2 substituents selected from halogen, C<sub>1-5</sub> alkyl, cyano, nitro, R<sup>15</sup>R<sup>16</sup>N, CF<sub>3</sub> and OCF<sub>3</sub>; R<sup>12</sup> is hydrogen, R<sup>23</sup>SO, or R<sup>23</sup>SO<sub>2</sub>; R<sup>13</sup> is hydrogen, R<sup>44</sup>SO, or R<sup>44</sup>SO<sub>2</sub>; R<sup>14</sup> is hydrogen, halogen, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkyl, cyano, nitro, or R<sup>24</sup>R<sup>25</sup>N; and G is C<sub>3-4</sub> alkanediyl, optionally substituted with hydroxy, C<sub>1-3</sub> alkyl, (L)-C<sub>1-5</sub> alkyloxy, or [(L)-C<sub>1-5</sub> alkylene]amino-.

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30. A compound of claim 1, wherein each of R<sup>3</sup> and R<sup>4</sup> is hydrogen; Ar represents a six membered ring, optionally substituted with between 1 and 2 substituents selected from halogen, C<sub>1-5</sub> alkyl, cyano, nitro, R<sup>15</sup>R<sup>16</sup>N, CF<sub>3</sub> and OCF<sub>3</sub>; R<sup>12</sup> is hydrogen, R<sup>23</sup>SO, or R<sup>23</sup>SO<sub>2</sub>; R<sup>13</sup> is hydrogen, R<sup>44</sup>SO, or R<sup>44</sup>SO<sub>2</sub>; R<sup>14</sup> is hydrogen, halogen, C<sub>1-5</sub> alkoxy, C<sub>1-5</sub> alkyl, cyano, nitro, or R<sup>24</sup>R<sup>25</sup>N; and G is C<sub>3</sub> alkanediyl, optionally substituted with hydroxy, (L)-C<sub>1-5</sub> alkyloxy-, or (L)-C<sub>1-5</sub> alkylamino.

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25 31. A compound of claim 30 wherein Ar is phenyl.

32. A compound of claim 31, wherein W and R<sup>1</sup> taken together are formula (I)(b).

30 33. A compound of claim 1, selected from:

1-[4-(2-Amino-6-chloro-phenyl)-piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propan-2-ol ;

↑  
fused

1-[3-Chloro-2-(4-{3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-phenyl]-3-methyl-urea ;

1-[3-Chloro-2-(4-{2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-phenyl]-3-methyl-urea ;

3-Amino-2-(4-{2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-benzoic acid methyl ester ;

3-Chloro-2-(4-{3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-phenylamine ;

1-[2-(4-{3-[3-(4-Bromo-phenyl)-5-methanesulfonyl-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-3-chloro-phenyl]-3-methyl-urea ;

and 1-{3-[4-(2-Chloro-6-methanesulfonylamino-phenyl)-piperazin-1-yl]-propyl}-3-(4-trifluoromethyl-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid amide .

34. A compound of claim 1, selected from:

[3-Chloro-2-(4-{3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-phenyl]-carbamic acid methyl ester ;

1-[3-(4-Benzo[d]isothiazol-3-yl-piperazin-1-yl)-propyl]-3-(4-bromo-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid amide ;

2-(4-{3-[5-Acetyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-3-nitro-benzoic acid methyl ester ;

1-[4-(2-Chloro-6-nitro-phenyl)-piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propan-2-ol ;

2-(4-{2-Hydroxy-3-[3-(4-iodo-phenyl)-5-methanesulfonyl-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-benzonitrile ;

3-(4-Bromo-phenyl)-1-{3-[4-(2-nitro-phenyl)-piperazin-1-yl]-propyl}-

1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid amide ;

2-(4-{3-[5-Acetyl-3-(4-iodo-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile ;

2-(4-{3-[3-(4-Chloro-3-methyl-phenyl)-5-methanesulfonyl-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;

1-(3-(4-Chloro-3-methyl-phenyl)-1-{3-[4-(2,4-dimethyl-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;

1-{3-[4-(3,5-Dichloro-pyridin-4-yl)-piperazin-1-yl]-propyl}-5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine ;

2-(4-{3-[5-Methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-benzonitrile;

N-[3-Chloro-2-(4-{3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-phenyl]-methanesulfonamide ;

3-(3,4-Dichloro-phenyl)-1-{3-[4-(2-nitro-phenyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid amide;

and 3-(4-Chloro-3-methyl-phenyl)-1-{3-[4-(2-cyano-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic.

35. A compound of claim 1, selected from :

1-(3-(4-Chloro-phenyl)-1-{3-[4-(2-fluoro-phenyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;

1-{3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl}-ethanone;

1-{3-(4-Chloro-phenyl)-1-[2-methoxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl}-ethanone;

1-[1-{2-Hydroxy-3-[4-(2-hydroxy-phenyl)-piperazin-1-yl]-propyl}-3-(4-iodo-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;

1-[1-[2-Hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-3-(4-trifluoromethyl-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;

- 2-(4-{3-[5-Acetyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;
- 1-[3-(3,4-Dichloro-phenyl)-pyrazol-1-yl]-3-(4-o-tolyl-piperazin-1-yl)-propan-2-ol;
- 1-[1-[2-(2-Piperazin-1-yl-ethylamino)-3-(4-o-tolyl-piperazin-1-yl)-propyl]-3-(4-trifluoromethyl-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;
- 1-{3-[4-(2-Cyano-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-3-(4-iodo-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester;
- 1-{3-[4-(2-Cyano-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-3-(4-iodo-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid amide;
- Carbamic acid 1-[5-carbamoyl-3-(4-iodo-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-ylmethyl]-2-[4-(2-cyano-phenyl)-piperazin-1-yl]-ethyl ester;
- 1-{3-(3-Amino-4-chloro-phenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl}-ethanone;
- (R)-1-(3-(4-Bromo-phenyl)-1-[3-[4-(5-chloro-2-methyl-phenyl)-piperazin-1-yl]-2-hydroxy-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;
- 2-(4-{3-[5-Acetyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-fluoro-propyl}-piperazin-1-yl)-benzonitrile;
- (3-(4-Chloro-3-methyl-phenyl)-1-[3-[4-(2-cyano-phenyl)-piperazin-1-yl]-2-hydroxy-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-oxo-acetic acid methyl ester;
- 5-Methanesulfonyl-1-[3-[4-(2-nitro-phenyl)-piperazin-1-yl]-propyl]-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine;
- 1-[3-Chloro-2-(4-{3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-phenyl]-3-methyl-urea;
- 1-{3-[4-(2-Chloro-6-methanesulfonylamino-phenyl)-piperazin-1-yl]-propyl}-3-(4-trifluoromethyl-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-sulfonic acid amide;
- N-[3-Chloro-2-(4-{2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-phenyl]-methanesulfonamide;

1-[4-(2,6-Dinitro-phenyl)-piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propan-2-ol;

2-(4-{2-Hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-3-methanesulfonylamino-benzoic acid methyl ester;

1-{3-[4-(1,1-Dioxo-1H-1H-benzo[d]isothiazol-3-yl)-piperazin-1-yl]-propyl}-5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine;

1-[1-{3-[4-(6-Chloro-benzothiazol-2-yl)-piperazin-1-yl]-2-hydroxy-propyl}-3-(4-trifluoromethyl-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone; and

1-[1-{3-(4-Benzo[d]isoxazol-3-yl)-piperazin-1-yl]-2-hydroxy-propyl]-3-(4-trifluoromethyl-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone.

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36.

A compound of claim 1, selected from:

N-[3-Chloro-2-(4-{2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-phenyl]-methanesulfonamide;

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1-[3-(4-Benzo[d]isothiazol-3-yl)-piperazin-1-yl]-propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid amide; and

1-[3-Chloro-2-(4-{2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-phenyl]-3-methyl-urea.

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37. A compound of claim 1, selected from:

1-(3-(4-Chloro-phenyl)-1-{4-[4-(2-methoxy-phenyl)-piperazin-1-yl]-butyl}-1,4,6,7-tetrahydro-pyrazolo [4,3-c]pyridin-5-yl)-ethanone;

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1-[1-(3-{4-[Bis-(4-fluoro-phenyl)-methyl]-piperazin-1-yl}-2-hydroxy-propyl)-3-(4-chloro-phenyl)-1,4, 6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;

1-(3-(4-Chloro-phenyl)-1-{2-hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;

- 1-(3-(4-Chloro-phenyl)-1-{3-[4-(2-chloro-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;
- 1-(3-(4-Chloro-phenyl)-1-{3-[4-(3-chloro-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;
- 5 1-(3-(4-Chloro-phenyl)-1-{3-[4-(4-chloro-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;
- 1-(3-(4-Chloro-phenyl)-1-{3-[4-(2-fluoro-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;
- 1-(3-(4-Chloro-phenyl)-1-{3-[4-(4-fluoro-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;
- 10 1-(3-(4-Chloro-phenyl)-1-{2-hydroxy-3-[4-(3-methoxy-phenyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;
- 1-(3-(4-Chloro-phenyl)-1-{2-hydroxy-3-[4-(4-methoxy-phenyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;
- 15 1-{3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(4-phenyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl}-ethanone;
- 1-[1-[3-(4-Benzhydryl-piperazin-1-yl)-2-hydroxy-propyl]-3-(4-chloro-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;
- 1-[3-(4-Chloro-phenyl)-1-(3-{4-[(4-chloro-phenyl)-phenyl-methyl]-piperazin-1-yl}-2-hydroxy-propyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;
- 20 1-(3-(4-Chloro-phenyl)-1-{3-[4-(9H-fluoren-9-yl)-piperazin-1-yl]-2-hydroxy-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;
- 1-[1-[3-(4-Benzyl-piperazin-1-yl)-2-hydroxy-propyl]-3-(4-chloro-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;
- 25 3-[5-Acetyl-3-(4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-1-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propan-1-one;
- 1-[1-{2-Hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-3-(4-iodo-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;
- 30 1-(3-(4-Chloro-phenyl)-1-{2-hydroxy-3-[4-(2-trifluoromethyl-phenyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;
- 1-(3-(4-Fluoro-phenyl)-1-{2-hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;

4-[5-Acetyl-3-(4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-1-[4-(2-methoxy-phenyl)-piperazin-1-yl]-butan-1-one;

1-(1-{2-Hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-3-p-tolyl-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;

5 1-(3-(4-Chloro-phenyl)-1-{3-[4-(3,4-dichloro-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;

1-{3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(4-pyridin-2-yl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;

10 1-(3-Biphenyl-4-yl-1-{2-hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone ;

1-(1-{2-Hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-3-phenyl-1,4,6,7-tetrahydro-pyrazolo [4,3-c]pyridin-5-yl)-ethanone;

1-[1-{2-Hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-3-(4-methoxy-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;

15 1-[1-[2-Hydroxy-3-(4-pyridin-4-yl-piperazin-1-yl)-propyl]-3-(4-methoxy-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;

1-[5-Acetyl-3-(4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolyl-piperazin-1-yl)-propan-2-one;

20 3-(4-Chloro-phenyl)-1-{2-hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester;

1-(1-{2-Hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-3-naphthalen-2-yl-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;

25 1-(3-(4-tert-Butyl-phenyl)-1-{2-hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;

1-(3-(4-Chloro-phenyl)-1-{2-hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-butan-1-one;

30 1-(3-(4-Chloro-phenyl)-1-{2-hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-2,2-dimethylpropan-1-one;

(3-(4-Chloro-phenyl)-1-{2-hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-(4-methoxy-phenyl)-methanone;

3-(4-Chloro-phenyl)-1-{2-hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid amide;

1-[3-(4-Chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propan-2-ol;

1-(3-(3,4-Dichloro-phenyl)-1-{2-hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;

1-[1-{2-Hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-3-(4-trifluoromethyl-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;

1-[1-{2-Hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-3-(4-nitro-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;

1-(3-(4-Chloro-phenyl)-1-{3-[4-(2,4-difluoro-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone; and

2-(4-{3-[5-Acetyl-3-(4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile.

38. A compound of claim 1, selected from:

4-{3-[5-Acetyl-3-(4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-3,4,5,6-tetrahydro-2H-[1,2']bipyrazinyl-3'-carbonitrile;

1-(3-(4-Chloro-phenyl)-1-{3-[4-(2,3-dimethyl-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;

1-(3-(4-Chloro-phenyl)-1-{3-[4-(2,4-dimethyl-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;

1-(3-(4-Chloro-phenyl)-1-{3-[4-(2,5-dimethyl-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;

1-{3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(3-methyl-4-p-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl}-ethanone;

1-{3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(3-methyl-4-m-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl}-ethanone;

1-(3-(4-Chloro-phenyl)-1-{2-hydroxy-3-[4-(4-trifluoromethyl-pyridin-2-yl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;

1-(3-(4-Chloro-phenyl)-1-{3-[4-(3-chloro-5-trifluoromethyl-pyridin-2-yl)-piperazin-1-yl]-2-hydroxy-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;

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- 1-(3-(4-Chloro-phenyl)-1-{3-[4-(3,5-dichloro-pyridin-4-yl)-piperazin-1-yl]-2-hydroxy-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;  
 1-(3-(4-Chloro-phenyl)-1-{4-[4-(2-methoxy-phenyl)-piperazin-1-yl]-but-2-enyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;  
 5 4-(5-Acetyl-1-{2-hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl)-benzonitrile;  
 1-{3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(2,3,5,6-tetrahydro-[1,2']bipyrazinyl-4-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;  
 1-{3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(4-pyrimidin-2-yl-piperazin-1-yl)-propyl]-  
 10 1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;  
 1-(3-(2,4-Bis-trifluoromethyl-phenyl)-1-{2-hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;  
 1-(3-(2,4-Dichloro-phenyl)-1-{2-hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;  
 15 2-(4-{3-[3-(4-Chloro-phenyl)-5,6-dihydro-4H-cyclopentapyrazol-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;  
 2-(4-{3-[3-(4-Chloro-phenyl)-5,6-dihydro-4H-cyclopentapyrazol-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-phenol;  
 1-(3-(4-Bromo-phenyl)-1-{2-hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;  
 20 1-{3-(4-Chloro-phenyl)-1-[2-(2-methyl-allyloxy)-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;  
 1-[1-[2-Benzoyloxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-3-(4-chloro-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;  
 25 Acetic acid 1-[5-acetyl-3-(4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-ylmethyl]-2-(4-o-tolyl-piperazin-1-yl)-ethyl ester;  
 Morpholine-4-carboxylic acid 1-[5-acetyl-3-(4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-ylmethyl]-2-(4-o-tolyl-piperazin-1-yl)-ethyl ester;  
 Benzoic acid 1-[5-acetyl-3-(4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-ylmethyl]-2-(4-o-tolyl-piperazin-1-yl)-ethyl ester;  
 30 Benzoyl-carbamic acid 1-[5-acetyl-3-(4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-ylmethyl]-2-(4-o-tolyl-piperazin-1-yl)-ethyl ester;  
 1-[3-(4-Chloro-phenyl)-pyrazol-1-yl]-3-(4-o-tolyl-piperazin-1-yl)-propan-2-ol;

- 1-(3-(3-Chloro-phenyl)-1-{2-hydroxy-3-[4-(2-hydroxy-phenyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;  
 2-(4-{3-[5-Acetyl-3-(3-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;
- 5 tert-Butyl-carbamic acid 1-[5-acetyl-3-(4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-ylmethyl]-2-(4-o-tolyl-piperazin-1-yl)-ethyl ester;  
 Carbonic acid 1-[5-acetyl-3-(4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-ylmethyl]-2-(4-o-tolyl-piperazin-1-yl)-ethyl ester methyl ester;  
 1-(3-(4-Chloro-phenyl)-1-{4-[4-(2-hydroxy-phenyl)-piperazin-1-yl]-but-2-enyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;
- 10 2-(4-{4-[5-Acetyl-3-(4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-but-2-enyl}-piperazin-1-yl)-benzonitrile;  
 1-(3-(4-Chloro-phenyl)-1-{4-[4-(2-methoxy-phenyl)-piperazin-1-yl]-but-2-enyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;
- 15 1-(3-(4-Chloro-phenyl)-1-{3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;  
 1-(3-(4-Chloro-phenyl)-1-{5-[4-(2-methoxy-phenyl)-piperazin-1-yl]-pentyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;  
 1-(3-(4-Chloro-phenyl)-1-{6-[4-(2-methoxy-phenyl)-piperazin-1-yl]-hexyl}-1,4,6,7-tetrahydro-pyrazolo [4,3-c]pyridin-5-yl)-ethanone;
- 20 2-[1-[5-Acetyl-3-(4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-ylmethyl]-2-(4-o-tolyl-piperazin-1-yl)-ethoxy]-acetamide;  
 [1-[5-Acetyl-3-(4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-ylmethyl]-2-(4-o-tolyl-piperazin-1-yl)-ethoxy]-acetic acid;
- 25 [1-[5-Acetyl-3-(4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-ylmethyl]-2-(4-o-tolyl-piperazin-1-yl)-ethoxy]-acetonitrile;  
 1-[1-{3-[4-(2-Bromo-benzenesulfonyl)-piperazin-1-yl]-2-hydroxy-propyl}-3-(4-chloro-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;  
 3-(5-(4-Chloro-phenyl)-2-{3-[4-(2-cyano-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-2H-pyrazol-3-yl) -propionic acid methyl ester;
- 30 2-(4-{3-[3-(4-Chloro-phenyl)-4,5,6,7-tetrahydro-indazol-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;

2-(4-{3-[3-(4-Chloro-phenyl)-4,5,6,7-tetrahydro-indazol-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-phenol; and  
 3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid dimethylamide.

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39. A compound of claim 1, selected from:

- 1-[1-[2-Azido-3-(4-o-tolyl-piperazin-1-yl)-propyl]-3-(4-chloro-phenyl)-1,4,6,7-tetrahydro-pyrazolo [4,3-c]pyridin-5-yl]-ethanone;  
 1-[1-[2-Amino-3-(4-o-tolyl-piperazin-1-yl)-propyl]-3-(4-chloro-phenyl)-1,4,6,7-tetrahydro-pyrazolo [4,3-c]pyridin-5-yl]-ethanone;  
 10 1-{3-(4-Chloro-phenyl)-1-[2-methylamino-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl}-ethanone;  
 3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid amide;  
 15 3-(4-Chloro-phenyl)-1-[2-hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl]-1,4,6,7-tetrahydro-indazol-5-one ethylene ketal;  
 1-[2-Hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-3-(4-iodo-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester;  
 1-(3-(4-Chloro-3-methyl-phenyl)-1-[2-hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;  
 20 2-(4-{3-[5-Acetyl-3-(4-chloro-3-methyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;  
 1-[1-[3-[4-(2-Chloro-benzenesulfonyl)-piperazin-1-yl]-2-hydroxy-propyl]-3-(4-chloro-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;  
 25 1-(3-(4-Chloro-2-fluoro-phenyl)-1-[2-hydroxy-3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;  
 2-(4-{3-[5-Acetyl-3-(4-chloro-2-fluoro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;  
 1-[3-(4-Chloro-phenyl)-5-methyl-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolyl-piperazin-1-yl)-propan-2-ol;  
 30 1-{3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl}-2-phenyl-ethanone;

- 1-[3-(4-Chloro-phenyl)-5-methanesulfonyl-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolyl-piperazin-1-yl)-propan-2-ol;
- 1-[1-{3-[4-(2-Amino-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-3-(4-chloro-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;
- 5 N-[2-(4-{3-[5-Acetyl-3-(4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-phenyl]-methanesulfonamide;
- N-[2-(4-{3-[5-Acetyl-3-(4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-phenyl]-acetamide;
- 1-[2-(4-{3-[5-Acetyl-3-(4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-phenyl]-3-isopropyl-urea;
- 10 3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid methylamide;
- 3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid hydrazide;
- 15 2-(4-{3-[5-Acetyl-3-(4-phenoxy-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;
- 3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid phenethyl-amide;
- 3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid (4-methoxy-phenyl)-amide;
- 20 3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carbothioic acid methylamide;
- 2-(4-{3-[5-Acetyl-3-(4-chloro-3-nitro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;
- 25 1-[2-Hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-3-(4-iodo-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid ethylamide;
- N-(5-{5-Acetyl-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl}-2-chloro-phenyl)-methanesulfonamide;
- 30 1-{3-(4-Chloro-phenyl)-1-[2-[(1-ethyl-pyrrolidin-2-yl)methyl]-amino]-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl}-ethanone;
- 2-(4-{3-[5-Acetyl-3-(4-trifluoromethylsulfanyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;

- 2-(4-{3-[5-Acetyl-3-(3-amino-4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;  
 3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid isopropylamide;  
 5 3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid phenylamide;  
 1-[3-(4-Chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolyl-piperazin-1-yl)-propan-2-ol;  
 1-[3-(4-Iodo-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolyl-piperazin-1-yl)-propan-2-ol;  
 10 2-(4-{3-[5-Acetyl-3-(4-methanesulfonyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;  
 1-[1-{2-Hydroxy-3-[4-(2-hydroxy-phenyl)-piperazin-1-yl]-propyl}-3-(4-methanesulfonyl-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;  
 15 11-[3-(4-Iodo-phenyl)-5-methanesulfonyl-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolyl-piperazin-1-yl)-propan-2-ol;  
 1-[2-Hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-3-(4-iodo-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid amide;  
 20 1-[2-Hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-3-(4-iodo-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid methyl ester;  
 1-[2-Hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-3-(4-iodo-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid methylamide;  
 N-[5-(5-Acetyl-1-{3-[4-(2-cyano-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl)-2-chloro-phenyl]-methanesulfonamide;  
 25 1-(5-{5-Acetyl-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl)-2-chloro-phenyl)-3-ethyl-urea; and  
 1-[5-(5-Acetyl-1-{3-[4-(2-cyano-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl)-2-chloro-phenyl]-3-ethyl-urea.  
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40. A compound of claim 1, selected from:

N-(5-{5-Acetyl-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl}-2-chloro-phenyl)-acetamide;

Acetic acid 2-[5-acetyl-3-(3-amino-4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-1-[4-(2-cyano-phenyl)-piperazin-1-ylmethyl]-ethyl ester;

N-[5-(5-Acetyl-1-{3-[4-(2-cyano-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl)-2-chloro-phenyl]-acetamide;

N-[2-[5-Acetyl-3-(4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-1-(4-o-tolyl-piperazin-1-ylmethyl)-ethyl]-methanesulfonamide;

1-{3-(4-Chloro-phenyl)-1-[2-(2-pyridin-2-yl-ethylamino)-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl}-ethanone;

1-{3-(4-Chloro-phenyl)-1-[2-(2-dimethylamino-ethylamino)-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl}-ethanone;

Carbonic acid 2-[5-acetyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-1-(4-o-tolyl-piperazin-1-ylmethyl)-ethyl ester methyl ester;

Carbamic acid 2-[5-acetyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-1-(4-o-tolyl-piperazin-1-ylmethyl)-ethyl ester;

3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-indazol-5-one;

3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-4,5,6,7-tetrahydro-1H-indazol-5-ol;

3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-indazol-5-one oxime;

1-[5-Ethanesulfonyl-3-(4-iodo-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolyl-piperazin-1-yl)-propan-2-ol;

1-[2-Hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-3-(4-iodo-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid methyl ester;

1-[5-(4-Chloro-benzenesulfonyl)-3-(4-iodo-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolyl-piperazin-1-yl)-propan-2-ol;

1-{3-[4-(2-Cyano-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-3-(4-iodo-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid methylamide;

- 1-[3-(4-Iodo-phenyl)-5-(propane-2-sulfonyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolyl-piperazin-1-yl)-propan-2-ol;
- 1-{3-[4-(2-Cyano-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-3-(4-iodo-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carbonitrile;
- 5 4-{3-[5-Acetyl-3-(4-chloro-3-methyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazine-1-carboxylic acid o-tolylamide;
- 4-{3-[5-Acetyl-3-(4-chloro-3-methyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazine-1-carboxylic acid (2-methoxy-phenyl)-amide;
- 10 2-(4-{3-[5-Acetyl-3-(3-chloro-4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;
- 2-(4-{3-[5-Acetyl-3-(3-fluoro-4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;
- 2-(4-{3-[5-Acetyl-3-(4-chloro-3-methyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-ylmethyl)-benzonitrile;
- 15 1-(3-(4-Chloro-3-methyl-phenyl)-1-{2-hydroxy-3-[4-(2-methoxy-benzyl)-piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;
- 2-(4-{3-[5-Acetyl-3-(4-bromo-3-methyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;
- 20 3-(4-Chloro-phenyl)-1-[2-hydroxy-3-(4-o-tolyl-piperazin-1-yl)-propyl]-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxamidine;
- 2-(4-{3-[5-Acetyl-3-(3,4-dichloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;
- 2-(4-{3-[5-Acetyl-3-(3,4-difluoro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;
- 25 2-(4-{3-[5-Acetyl-3-(3,5-dichloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;
- 2-{4-[3-[5-Acetyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-(2-morpholin-4-yl-ethoxy)-propyl]-piperazin-1-yl}-benzonitrile;
- 30 2-(4-{2-Hydroxy-3-[3-(4-iodo-phenyl)-5-trifluoromethanesulfonyl-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-benzonitrile;
- 2-(4-{3-[5-Acetyl-3-(3-chloro-4-methyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;

N-[4-(5-Acetyl-1-{3-[4-(2-cyano-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-  
 4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl)-phenyl]-acetamide;  
 2-(4-{3-[5-Acetyl-3-(4-bromo-3-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-  
 c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;  
 5 1-(3-(3-Chloro-4-methyl-phenyl)-1-{2-hydroxy-3-[4-(2-methoxy-phenyl)-  
 piperazin-1-yl]-propyl}-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;  
 1-[1-{3-[4-(2-Azido-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-3-(4-bromo-  
 phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;  
 2-(4-{3-[5-Acetyl-3-(3-azido-4-chloro-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-  
 10 c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-benzonitrile;  
 5-Methanesulfonyl-1-[3-(4-o-tolyl-piperazin-1-yl)-propyl]-3-(4-trifluoromethyl-  
 phenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine;  
 5-Methanesulfonyl-1-[3-[4-(2-methoxy-phenyl)-piperazin-1-yl]-propyl]-3-(4-  
 trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine;  
 15 1-[1-{2-Hydroxy-3-[4-(2-nitro-phenyl)-piperazin-1-yl]-propyl}-3-(4-nitro-phenyl)-  
 1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;  
 3-(4-Bromo-phenyl)-1-[3-[4-(2-nitro-phenyl)-piperazin-1-yl]-propyl]-1,4,6,7-  
 tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester;  
 3-(4-Bromo-phenyl)-1-[3-[4-(2-nitro-phenyl)-piperazin-1-yl]-propyl]-4,5,6,7-  
 20 tetrahydro-1H-pyrazolo[4,3-c]pyridine;  
 1-(3-(4-Bromo-phenyl)-1-[3-[4-(2-nitro-phenyl)-piperazin-1-yl]-propyl]-1,4,6,7-  
 tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone; and  
 3-(4-Bromo-phenyl)-5-methanesulfonyl-1-[3-[4-(2-nitro-phenyl)-piperazin-1-yl]-  
 propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine.

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41. A compound of claim 1, selected from:

3-(3,4-Dichloro-phenyl)-1-[3-[4-(2-nitro-phenyl)-piperazin-1-yl]-propyl]-1,4,6,7-  
 tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester;  
 3-(4-Bromo-phenyl)-1-[3-[4-(2-nitro-phenyl)-piperazin-1-yl]-propyl]-1,4,6,7-  
 30 tetrahydro-pyrazolo[4,3-c]pyridine-5-sulfonic acid amide;  
 1-(3-(3,4-Dichloro-phenyl)-1-[3-[4-(2-nitro-phenyl)-piperazin-1-yl]-propyl]-  
 1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl)-ethanone;

3-(3,4-Dichloro-phenyl)-5-methanesulfonyl-1-{3-[4-(2-nitro-phenyl)-piperazin-1-yl]-propyl}-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine;

3-(4-Bromo-phenyl)-1-{3-[4-(1,1-dioxo-1H-1,6-benzo[d]isothiazol-3-yl)-piperazin-1-yl]-propyl}-5-methanesulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine;

1-[1-[3-(4-Benzo[d]isothiazol-3-yl-piperazin-1-yl)-propyl]-3-(4-bromo-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;

1-[3-(4-Benzo[d]isothiazol-3-yl-piperazin-1-yl)-propyl]-3-(4-bromo-phenyl)-5-methanesulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine;

1-[3-(4-Benzo[d]isothiazol-3-yl-piperazin-1-yl)-propyl]-3-(4-bromo-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester;

1-[3-(4-Benzo[d]isothiazol-3-yl-piperazin-1-yl)-propyl]-3-(4-bromo-phenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine;

1-[3-Chloro-2-(4-{3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-

tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl)-piperazin-1-yl)-phenyl]-3-methyl-urea;

[3-Chloro-2-(4-{3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl)-piperazin-1-yl)-phenyl]-urea;

[3-Chloro-2-(4-{3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-

tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl)-piperazin-1-yl)-phenyl]-carbamic acid methyl ester;

1-[3-Chloro-2-(4-{2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl)-piperazin-1-yl)-phenyl]-3-methyl-urea;

N-[3-Chloro-2-(4-{3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl)-piperazin-1-yl)-phenyl]-methanesulfonamide;

1-[4-(2,6-Dimethyl-phenyl)-piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propan-2-ol;

1-[1-[3-[4-(2,6-Dimethyl-phenyl)-piperazin-1-yl]-2-hydroxy-propyl]-3-(4-trifluoromethyl-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;

- 2-(4-{2-Hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-isophthalonitrile;  
 2-(4-{3-[5-Acetyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-isophthalonitrile;  
 5 1-[4-(2-Chloro-6-nitro-phenyl)-piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propan-2-ol;  
 1-[4-(2-Amino-6-chloro-phenyl)-piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propan-2-ol;  
 10 3-Chloro-2-(4-{2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-benzoic acid methyl ester;  
 3-Chloro-2-(4-{2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-N-methylbenzamide;  
 15 [3-Chloro-2-(4-{2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-phenyl]-morpholin-4-yl-methanone;  
 20 1-[4-(2-Chloro-6-morpholin-4-ylmethyl-phenyl)-piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propan-2-ol;  
 3-Chloro-2-(4-{2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-N-pyridin-4-ylmethyl-benzamide;  
 25 2-(4-{2-Hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-3-nitro-benzoic acid methyl ester;  
 2-(4-{3-[5-Acetyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl}-piperazin-1-yl)-3-nitro-benzoic acid methyl ester;  
 30

- 3-Acetylamino-2-(4-{2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-benzoic acid methyl ester;
- 2-(4-{2-Hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-3-methanesulfonylamino-benzoic acid methyl ester;
- 2-(4-{2-Hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-3-nitro-benzamide;
- 2-(4-{2-Hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propyl}-piperazin-1-yl)-3-(3-methyl-ureido)-benzoic acid methyl ester;
- 1-[4-(2,6-Dinitro-phenyl)-piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-propan-2-ol;
- 1-[1-{3-[4-(2,6-Dinitro-phenyl)-piperazin-1-yl]-2-hydroxy-propyl}-3-(4-trifluoromethyl-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone.;
- 1-[1-{3-[4-(3,5-Dichloro-pyridin-4-yl)-piperazin-1-yl]-2-hydroxy-propyl}-3-(4-trifluoromethyl-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;
- 1-{3-[4-(3,5-Dichloro-pyridin-4-yl)-piperazin-1-yl]-propyl}-5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine;
- 1-[1-{3-[4-(3,5-Dichloro-pyridin-4-yl)-piperazin-1-yl]-2-hydroxy-propyl}-3-(4-trifluoromethylsulfanyl-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;
- 1-[1-{3-[4-(3,5-Dichloro-pyridin-4-yl)-piperazin-1-yl]-propyl}-3-(4-trifluoromethyl-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;
- 2-(4-{3-[5-Acetyl-3-(4-bromo-phenyl)-4,5,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-1-yl]-2-azido-propyl}-piperazin-1-yl)-benzonitrile;
- 1-[1-{2-Hydroxy-3-[4-(6-nitro-benzothiazol-2-yl)-piperazin-1-yl]-propyl}-3-(4-trifluoromethyl-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;
- 1-[1-{2-Hydroxy-3-[4-(6-methoxy-benzothiazol-2-yl)-piperazin-1-yl]-propyl}-3-(4-trifluoromethyl-phenyl)-1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridin-5-yl]-ethanone;

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1-{3-[4-(1,1-Dioxo-1H- $\lambda^6$ -benzo[d]isothiazol-3-yl)-piperazin-1-yl]-propyl}-5-methanesulfonyl-3-(4-trifluoromethyl-phenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine; and

1-[3-(4-Benzo[d]isothiazol-3-yl-piperazin-1-yl)-propyl]-3-(4-bromo-phenyl)-  
5 1,4,6,7-tetrahydro-pyrazolo[4,3-c]pyridine-5-carboxylic acid amide.

42. A pharmaceutical composition comprising a compound of claim 1, 30, 31, 33, 34, 35, or 36 and a pharmaceutically acceptable carrier.

10 43. A method for treating a subject with a condition mediated by cathepsin S, said method comprising administering to the subject a therapeutically effective amount of a pharmaceutical composition comprising a compound of claim 1, 30, 31 or 36.

15 44. A method for inhibiting cathepsin S activity in a subject, said method comprising administering to the subject a therapeutically effective amount of a pharmaceutical composition comprising a compound of claim 1, 30, 31 or 36.

20 45. A method for treating an autoimmune disease, or inhibiting the progression of an autoimmune disease, in a subject, said method comprising administering to the subject a therapeutically effective amount of a pharmaceutical composition comprising a compound of claim 1, 30, 31, or 36.

25 46. A method of claim 45, wherein the autoimmune disease is selected from lupus, rheumatoid arthritis, and asthma.

47. A method of claim 46, wherein the autoimmune disease is asthma.

30 48. A method for treating or inhibiting the progression of tissue transplant rejection in a subject, said method comprising administering to the subject a therapeutically effective amount of a pharmaceutical composition comprising a compound of claim 1, 30, 31 or 36.

50. A method of claim 48, wherein said administration to said subject occurs before or during a tissue transplant procedure.

Year	1990	1991	1992	1993	1994	1995	1996	1997	1998	1999	2000	2001	2002	2003	2004	2005	2006	2007	2008	2009	2010	2011	2012	2013	2014	2015	2016	2017	2018	2019	2020	2021	2022	2023	2024	2025	2026	2027	2028	2029	2030	2031	2032	2033	2034	2035	2036	2037	2038	2039	2040	2041	2042	2043	2044	2045	2046	2047	2048	2049	2050	2051	2052	2053	2054	2055	2056	2057	2058	2059	2060	2061	2062	2063	2064	2065	2066	2067	2068	2069	2070	2071	2072	2073	2074	2075	2076	2077	2078	2079	2080	2081	2082	2083	2084	2085	2086	2087	2088	2089	2090	2091	2092	2093	2094	2095	2096	2097	2098	2099	2100
1990	1991	1992	1993	1994	1995	1996	1997	1998	1999	2000	2001	2002	2003	2004	2005	2006	2007	2008	2009	2010	2011	2012	2013	2014	2015	2016	2017	2018	2019	2020	2021	2022	2023	2024	2025	2026	2027	2028	2029	2030	2031	2032	2033	2034	2035	2036	2037	2038	2039	2040	2041	2042	2043	2044	2045	2046	2047	2048	2049	2050	2051	2052	2053	2054	2055	2056	2057	2058	2059	2060	2061	2062	2063	2064	2065	2066	2067	2068	2069	2070	2071	2072	2073	2074	2075	2076	2077	2078	2079	2080	2081	2082	2083	2084	2085	2086	2087	2088	2089	2090	2091	2092	2093	2094	2095	2096	2097	2098	2099	2100	